USSN Not yet assigned BUHR, et al. Page 1 of 13

# JC06 Rec'd PCT/PTO 12 MAY 2005

#### Appendix A

#### Claim Amendments

1. (Currently amended) A compound of the formula 1

in which

- R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy- 1-4C-alkyl, 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl or hydroxy-1-4C-alkyl,
- R2 is hydrogen, 1-4C-alkyl, aryl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, halogen, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl, 1-4C-alkyl-aminomethyl or cyanomethyl,
- R3a is hydrogen, halogen, fluoro-1-4C-alkyl, 1-4C-alkyl, 2-4C-alkenyl, 2-4C-alkynyl, carboxyl, -CO-1-4C-alkoxy, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-

- 1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,
- R3b is hydrogen, halogen, fluoro-1-4C-alkyl, 1-4C-alkyl, 2-4C-alkenyl, 2-4C-alkynyl, carboxyl, -CO- 1-4C-alkoxy, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

- R31 is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and
- R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl, alkoxy-1-4C-alkyl,

or where

- R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,
- Z has the meaning -CHR4- or -CHR4-CHR5- where
- R4 is hydrogen, 1-7C-alkyl, 2-7C-alkenyl, hydroxyl, 1-4Calkoxy, oxo-substituted 1-4C-alkoxy, 3-7C-cycloalkoxy,
  3-7C-cycloalkyl-1-4C-alkoxy, hydroxy-1-4C-alkoxy, 1-4Calkoxy-1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy,
  3-7C-cycloalkoxy-1-4C-alkoxy, 3-7C-cycloalkyl-1-4C-

- alkoxy-1-4C-alkoxy, 1-4C-alkylcarbonyloxy, halo-1-4C-alkoxy, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, mono- or di-1-4C-alkylamino, mono- or di-1-4C-alkylamino-1-4C-alkylcarbonyloxy or 1-4C-alkoxy-1-4C-alkoxycarbonylamino,
- R5 is hydrogen, 1-7C-alkyl, 2-7C-alkenyl, hydroxyl, 1-4C-alkoxy, oxo-substituted 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkyl-1-4C-alkoxy, hydroxy-1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy, 3-7C-cycloalkoxy-1-4C-alkoxy, 3-7C-cycloalkoxy-1-4C-alkoxy, 3-7C-cycloalkyl-1-4C-alkoxy, 1-4C-alkoxy, 1-4C-alkylcarbonyloxy, halo-1-4C-alkoxy, amino, mono- or di-1-4C-alkylamino, 1-4C-alkoxycarbonylamino, mono- or di-1-4C-alkylamino-1-4C-alkoxycarbonyloxy or 1-4C-alkoxy-1-4C-alkoxycarbonylamino,
- R6 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxyl, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxyl, trifluoromethyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

- R7 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy, alkoxycarbonyl, halogen, trifluoromethyl or hydroxyl,
- X is O (oxygen) or NH, and
- aryl is phenyl or substituted phenyl having one, two or three identical or different substituents from the group consisting of 1-4C-alkyl, 1-4C-alkoxy, carboxyl, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl, nitro, trifluoromethoxy, hydroxyl and cyano,

and its salts or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof, with the provisos that

- (1) R3a is not hydrogen, halogen, 1-4C-alkoxy or -CO-1-4C-alkoxy when R3b is hydrogen, Z has the meaning -CHR4-and R4 is hydrogen or 1-7C-alkyl,
- (2) R3a is not hydrogen, halogen, 1-4C-alkoxy or -CO-1-4C-alkoxy when R3b is hydrogen, Z has the meaning -CHR4-CHR5-, R4 is hydrogen or 1-7C-alkyl and R5 is hydrogen or 1-7C-alkyl,
- (3) R3a is not hydrogen or halogen when R3b is hydrogen, Z has the meaning -CHR4- and R4 is hydroxyl,
- (4) R3a is not hydrogen or halogen when R3b is hydrogen, Z has the meaning -CHR4-CHR5-, one of R4 and R5 is hydroxyl and the other is hydrogen or 1-7C-alkyl,

- (5) R3a is not hydrogen when R3b is hydrogen, X is O (oxygen), Z has the meaning -CHR4- and R4 is 1-4Calkoxy, 3-7C-cycloalkoxy, 1-4C-alkoxy-1-4C-alkoxy or 1-4C-alkylcarbonyloxy and
- (6) R3a is not hydrogen when R3b is hydrogen, X is O (oxygen), Z has the meaning -CHR4-CHR5-, one of R4 and R5 1-4C-alkoxy, 3-7C-cycloalkoxy, 1-4C-alkoxy-1-4C-alkoxy or 1-4C-alkylcarbonyloxy and the other is hydrogen.
- 2. (Currently amended) A compound of the formula 1 according to claim 1, in which Z has the meaning -CHR4- and which is characterized by the formula 1-1

R3a 
$$R2$$
  $R3b$   $R1$   $R4$   $R1$   $R4$ 

in which R1, R2, R3a, R3b, R4, R6, R7 and X have the meanings given in claim 1, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

3. (Currently amended) A compound of the formula 1 according to claim 1, in which Z has the meaning -CHR4-CHR5- and which is characterized by the formula 1-2

R3a 
$$R1$$
  $R3b$   $R1$   $R6$   $R7$   $R4$   $R5$ 

in which R1, R2, R3a, R3b, R4, R5, R6, R7 and X have the meanings given in claim 1, or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

4. (Currently amended) A compound of formula 1 according to claim 1,

in which

R1 is 1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or halogen,

R3a is in the 6-position and denotes carboxyl, -CO-1-4C-alkoxy, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl alkoxy-1-4C-alkyl and

R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl, alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

R3b is hydrogen,

Z has the meaning -CHR4- or -CHR4-CHR5- where

R4 is hydroxyl,

R5 is hydrogen,

R6 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R7 is hydrogen,

X is O (oxygen) or NH,

and its salts or a hydrate, solvate, salt, hydrate of a
salt or solvate of a salt thereof.

5. (Currently amended) A compound of formula 1-1 according to claim 2, in which

R1 is 1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or halogen,

R3a is in the 6-position and denotes carboxyl, -CO-1-4C-alkoxy, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl alkoxy-1-4C-alkyl and

R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl, alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

R3b is hydrogen,

R4 is hydroxyl,

R6 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy,

R7 is hydrogen,

X is O (oxygen) or NH,

and its salts or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

6. (Currently amended) An optically pure compound of formula 1-1 according to claim 2, which is characterized by the formula 1-1\*

R3a 
$$R2$$
  $R1$   $R7$   $R4$   $R1$   $R4$ 

and in which

R1 is 1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or halogen,

R3a is in the 6-position and denotes carboxyl, -CO-1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl and

R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

is hydroxyl, 1-4C-alkoxy, oxo-substituted 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkyl-1-4C-alkoxy, hydroxy-1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxy, 3-7C-cycloalkoxy-1-4C-alkoxy, 3-7C-cycloalkyl-1-4C-alkoxy-1-4C-alkoxy, 1-4C-alkoxy, 1-4C-alkylcarbonyloxy, halo-1-4C-alkoxy, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkylcarbonylamino, 1-4C-alkylcarbonylamino, 1-4C-alkylcarbonylamino, 1-4C-alkylcarbonyloxy or 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkoxycarbonylamino,

R6 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy or halogen,

R7 is hydrogen,

X is O (oxygen) or NH,

and its salts or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

7. (Currently amended) A compound of formula 1-1\* according to claim 6, in which

R1 is 1-4C-alkyl,

R2 is 1-4C-alkyl,

R3a is in the 6-position and denotes carboxyl, -CO-1-4C- alkoxy, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32, where

R31 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

R4 is hydroxyl,

R6 is hydrogen,

R7 is hydrogen,

X is O (oxygen) or NH,

and its salts or a hydrate, solvate, salt, hydrate of a
salt or solvate of a salt thereof.

8. (Currently amended) An optically pure compound of formula 1-2 according to claim 3, which is characterized by the formula 1-2\*

R3a 
$$\longrightarrow$$
 R1  $\longrightarrow$  R6  $\longrightarrow$  R7  $\longrightarrow$  R4  $\longrightarrow$  R5

in which

R1 is 1-4C-alkyl,

R2 is 1-4C-alkyl,

R3a is in the 6-position and denotes carboxyl, -CO-1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32, where

R31 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

R4 is hydroxyl,

R5 is hydrogen,

R6 is hydrogen,

R7 is hydrogen,

X is O (oxygen) or NH,

and its salts or a hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

9. (Currently amended) A medicament pharmaceutical composition comprising a compound as claimed in claim 1 and/or a pharmacelogically pharmaceutically acceptable [salt] hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof, together with a pharmaceutically

USSN Not yet assigned BUHR, et al.
Page 13 of 13

acceptable auxiliary and/or excipient customary

pharmaceutical auxiliaries and/or excipients.

#### 10. (Canceled)

11. (New) A method of preventing or treating a gastrointestinal disorder in a patient comprising administering to a patient in need thereof a compound of formula I as claimed in claim 1, or a pharmaceutically acceptable hydrate, solvate, salt, hydrate of a salt or solvate of a salt thereof.

### Appendix B

## Abstract of the Disclosure

The present invention relates to 8-substituted imidazopyridines of a certain formula (1) .

R3a
$$R3b$$

$$R7$$

$$R1$$

$$R7$$

$$X$$

$$(1)$$

in which the substitutents and symbols have the meanings indicated in the description. The compounds have gastric secretion inhibiting and excellent gastric and intestinal protective action properties.